

main nodes :
 7 8 9 10 11 12 13 14 15 16
 ring nodes :
 1 2 3 4 5 6
 main bonds :
 4-7 7-8 7-9 7-10 8-11 8-12 13-14 14-15 14-16
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6
 exact/norm bonds :
 7-8 8-11 8-12 13-14 14-15 14-16
 exact bonds :
 4-7 7-9 7-10
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6

match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS

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NEWS	3 May 12	EXTEND option available in structure searching
NEWS	4 May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS	5 May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in Cplus
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NEWS	12 AUG 02	Cplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS	13 AUG 02	STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS	14 AUG 02	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS	15 AUG 04	Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004
NEWS	16 AUG 27	BIOCOMMERCE: Changes and enhancements to content coverage
NEWS	17 AUG 27	BIOTECHABS/BIOTECHDS: Two new display fields added for legal status data from INPADOC
NEWS	18 SEP 01	INPADOC: New family current-awareness alert (SDI) available
NEWS	19 SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	20 SEP 01	New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 09:07:26 ON 10 SEP 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 09:07:39 ON 10 SEP 2004

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STRUCTURE FILE UPDATES: 8 SEP 2004 HIGHEST RN 741635-85-8

DICTIONARY FILE UPDATES: 8 SEP 2004 HIGHEST RN 741635-85-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

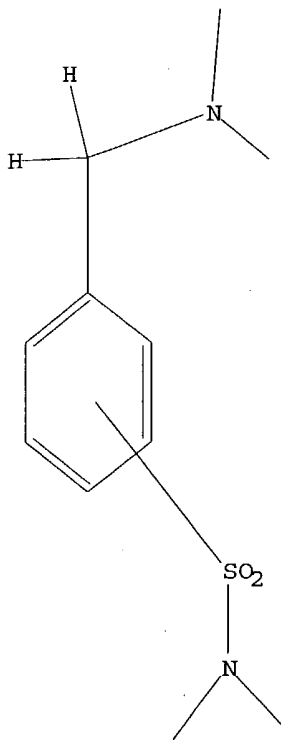
Uploading c:\10031122-1.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 09:08:00 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 276 TO ITERATE

100.0% PROCESSED 276 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 4524 TO 6516

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

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FULL SCREEN SEARCH COMPLETED - 5299 TO ITERATE

100.0% PROCESSED 5299 ITERATIONS

28 ANSWERS

SEARCH TIME: 00.00.01

L3 28 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.84

156.05

FILE 'CAPLUS' ENTERED AT 09:08:41 ON 10 SEP 2004

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FILE COVERS 1907 - 10 Sep 2004 VOL 141 ISS 12
FILE LAST UPDATED: 9 Sep 2004 (20040909/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3/prep and l3/thu

14 L3
3194470 PREP/RL
10 L3/PREP
(L3 (L) PREP/RL)

14 L3
618541 THU/RL
5 L3/THU
(L3 (L) THU/RL)

L4 5 L3/PREP AND L3/THU

=> dis l4 1-5 bib abs hitstr

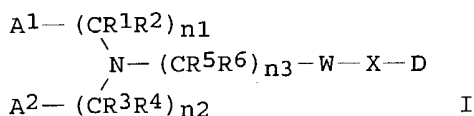
L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:252488 CAPLUS
DN 140:287416
TI Preparation of bis(heterocyclylmethyl)amine compounds as chemokine
receptor CXCR4 antagonists
IN Yamazaki, Toru; Kikumoto, Shigeyuki; Ono, Masahiro; Saitou, Atsushi;
Takahashi, Haruka; Kumakura, Sei; Hirose, Kunitaka; Yanaka, Mikiro;
Takemura, Yoshiyuki; Suzuki, Shigeru; Matsui, Ryo
PA Kureha Chemical Industry Company, Limited, Japan
SO PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004024697	A1	20040325	WO 2003-JP11381	20030905
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI JP 2002-265247 A 20020911

OS MARPAT 140:287416

GI



AB The title compds. [I; n_1, n_2, n_3 = an integer of 0-3; $\text{R}^1\text{-R}^6$ = H, each (un)substituted C1-15 alkyl, C2-15 alkenyl, C2-15 alkynyl, or C3-15 cycloalkyl; A^1, A^2 = each (un)substituted mono- or polycyclic heteroarom. ring, partially saturated polycyclic heteroarom. ring, mono- or polycyclic aromatic ring, partially saturated aromatic ring, heterocyclic ring, or

NH₂CH₂; W = each (un)substituted C1-15 alkylene, C2-15 alkenylene, C2-15 alkynylene, C3-15 cycloalkylene, mono- or polycyclic heteroarom. ring, partially saturated polycyclic heteroarom. ring, mono- or polycyclic aromatic ring, partially saturated polycyclic aromatic ring, or heterocyclic ring; X = O, CH₂, (un)substituted NH; D = Q, Q₁, etc.; wherein R^{13} = H, each (un)substituted C1-15 alkyl, C2-15 alkenyl, C2-15 alkynyl, C3-15 cycloalkyl, (un)substituted amino-C2-4 alkyl] or optically active enantiomers or diastereomers thereof or mixts. or racemates thereof are prepared These compds., e.g. 4-[[bis(1H-imidazol-2-ylmethyl)amino]methyl]-N-(4-dipropylaminomethyl)benzamide (II) and N-(4-dipropylaminomethylphenyl)-4-[[[(1H-imidazol-2-ylmethyl)-(1H-benzimidazol-1-ylmethyl)amino]methyl]benzamide (III), are efficacious against diseases such as infection with virus (e.g. HIV virus), rheumatism, and cancer metastasis. For example, II and III showed EC₅₀ of 0.002 μM against the HIV infection of MT-4 cells.

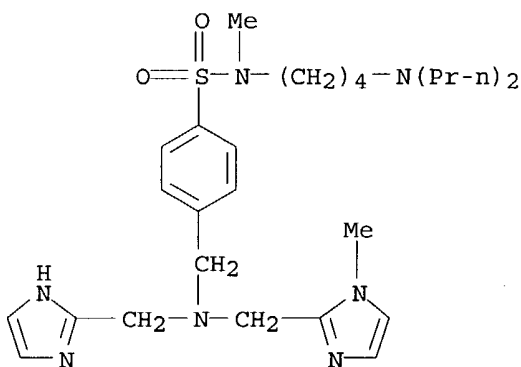
IT **675135-92-9P 675138-27-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU** (Therapeutic use); BIOL (Biological study); **PREP** (Preparation); USES (Uses)

(preparation of bis(heterocyclylmethyl)amine compds. as chemokine receptor CXCR4 antagonists for treatment of infection with virus (e.g. HIV virus), rheumatism, and cancer metastasis)

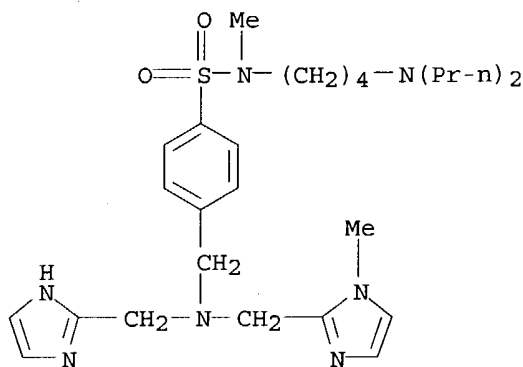
RN 675135-92-9 CAPLUS

CN Benzenesulfonamide, N-[4-(dipropylamino)butyl]-4-[[[(1H-imidazol-2-ylmethyl)[(1-methyl-1H-imidazol-2-yl)methyl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 675138-27-9 CAPLUS

CN Benzenesulfonamide, N-[4-(dipropylamino)butyl]-4-[[[(1H-imidazol-2-ylmethyl)[(1-methyl-1H-imidazol-2-yl)methyl]amino]methyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:220301 CAPLUS
DN 140:270550
TI A preparation of 1,3-diamino-2-hydroxypropane derivatives as
beta-secretase enzyme inhibitors
IN Fobian, Yvette M.; Freskos, John N.; Jagodzinska, Barbara
PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn
SO PCT Int. Appl., 535 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022523	A2	20040318	WO 2003-US28116	20030908
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2002-408783P	P	20020906		
OS MARPAT 140:270550				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to diamino(hydroxy)propane derivs. of formula I
[wherein: R1 = -(CH2)1-2-S(O)0-2-(C1-6 alkyl) or (un)substituted
(cyclo)alkyl, alk(en/yn)yl, (hetero)aryl, etc.; R2 = H, C1-6 alkyl
optionally substituted with 1-3 substituents, (CH2)0-4-(hetero)aryl, C2-6
alk(en/yn)yl, etc.; R3 = H, C1-6 alkyl optionally substituted with 1-3
substituents, (CH2)0-4-(hetero)aryl, etc.; R4 = C1-10 alkyl optionally
substituted with 1-3 substituents, -(CH2)0-3-cycloalkyl,

-(CR7R8)O-4-(hetero)aryl, etc.; one of R5 and R6 is H and the other is -C(O)(CR9R10)1-6-X-R11, etc.; R7 and R8 are independently selected from H, alkyl, hydroxyalkyl, alk(en/yn)yl, etc.; R9 and R10 are independently selected from H or C1-10 alkyl; R11 = (hetero)aryl, optionally substituted C1-10 alkyl, or C3-8 cycloalkyl, etc.; X = O, S, SO2, etc.]. Compds. I include inhibitors of beta-secretase enzyme useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta-peptide in a mammal. Biol. examples include beta-secretase inhibition, assays using synthetic oligopeptide-substrates, inhibition of A beta production in human patients, etc. For instance, compound II

(preparation 8)

was prepared via amidation of benzoic acid derivative III by diamino(hydroxy)propane derivative IV and subsequent Boc-cleavage (no yield data). Using 19F-NMR an intramol. acyl-migration was observed when compound II was dissolved in DMSO-d6 and pH 4 buffer solution was added.

IT 674313-58-7P

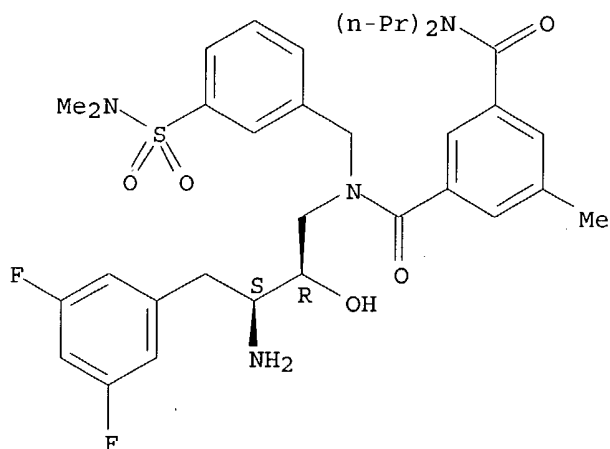
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)

RN 674313-58-7 CAPLUS

CN 1,3-Benzenedicarboxamide, N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-[[3-[(dimethylamino)sulfonyl]phenyl]methyl]-5-methyl-N',N'-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:757713 CAPLUS

DN 139:276880

TI Preparation of carbamates as HIV protease inhibitors

IN Ghosh, Arun K.; Bilcer, Geoffrey M.; Devasamudram, Thippeswamy

PA The Board of Trustees of the University of Illinois, USA

SO PCT Int. Appl., 224 pp.

CODEN: PIXXD2

DT Patent

LA English

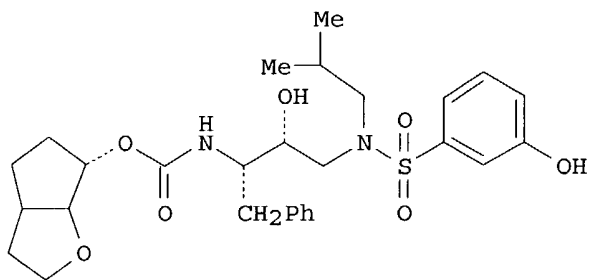
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003078438	A1	20030925	WO 2003-US7032	20030307
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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG

US 2004039016 A1 20040226 US 2003-382435 20030306
PRAI US 2002-363628P P 20020312
US 2002-433627P P 20021213
OS MARPAT 139:276880
GI



I

AB R1O2CNHCH(CH2Ph)CH(OH)CHR4NR2R3 [R1 = alkyl, aryl, heterocyclic; R2 = H, (un)substituted alkyl, NH2, heterocyclic, cycloalkyl; R3 = (un)substituted cyclohexadienylsulfonyl, arylsulfonyl, aroyl, aralkylsulfonyl, heterocyclylsulfonyl, aralkanoyl, heterocyclic, aroylamino, arylsulfonylamino; NR2R3 = heterocyclic; R4 = H, (un)substituted heterocyclylalkyl] were prepared for use as HIV protease inhibitors in treating wild-type HIV and of multidrug-resistant strains of HIV. Thus, the carbamate I was prepared in a multi-step synthesis and has Ki 2.1 nM for inhibition of HIV protease.

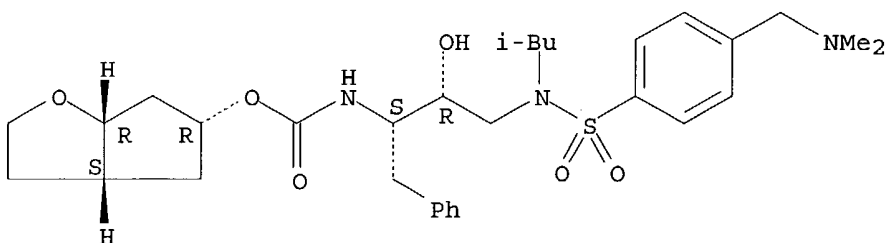
IT 605653-44-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbamates as HIV protease inhibitors)

RN 605653-44-9 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[(dimethylamino)methyl]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3aS,5R,6aR)-hexahydro-2H-cyclopenta[b]furan-5-yl ester (9CI) (CA INDEX NAME)

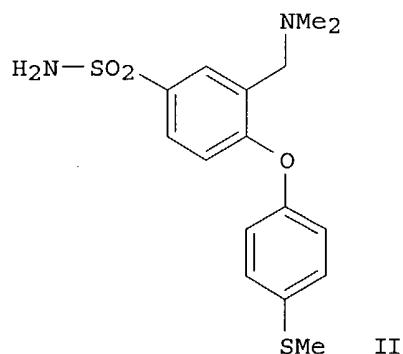
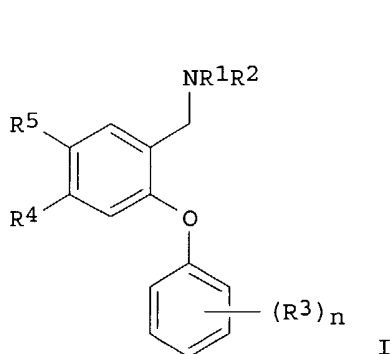
Absolute stereochemistry.



ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:730683 CAPLUS
 DN 135:288572
 TI Preparation of diphenyl ether compounds as serotonin re-uptake inhibitors
 IN Andrews, Mark David; Hepworth, David; Middleton, Donald Stuart; Stobie, Alan
 PA Pfizer Limited, UK; Pfizer Inc.
 SO PCT Int. Appl., 158 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001072687	A1	20011004	WO 2001-IB428	20010319
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002052395	A1	20020502	US 2001-810378	20010316
	US 6448293	B2	20020910		
	EP 1268396	A1	20030102	EP 2001-917347	20010319
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001009547	A	20030610	BR 2001-9547	20010319
	NZ 519972	A	20030725	NZ 2001-519972	20010319
	JP 2003528845	T2	20030930	JP 2001-570602	20010319
	EE 200200568	A	20040615	EE 2002-568	20010319
	BG 106912	A	20030131	BG 2002-106912	20020709
	ZA 2002007738	A	20030926	ZA 2002-7738	20020926
	NO 2002004663	A	20020927	NO 2002-4663	20020927
PRAI	GB 2000-7884	A	20000331		
	US 2000-197127P	P	20000414		
	WO 2001-IB428	W	20010319		
OS	MARPAT 135:288572				
GI					



AB Title compds. I [wherein R1 and R2 = independently H or (cycloalkyl)alkyl;

or R1 and R2 together with the N to which they are attached form an azetidine ring; R3 = independently CF3, OCF3, alkylthio, or alkoxy; n = 1-3; R4 and R5 = independently AX; A = CH:CH or (CH2)p; p = 0-2; X = H, halo, OH, alkoxy, NO2, CN, CHO, alkylthio, alkylsulfinyl, alkylsulfonyl, or (un)substituted carbamoyl, sulfamoyl, amino, carboxy, etc.; or pharmaceutically acceptable salts, solvates, or polymorphs thereof] were prepared as monoamine re-uptake inhibitors, particularly as selective serotonin re-uptake inhibitors. For example, 4-(methylmercapto)phenol was coupled with 2-fluorobenzaldehyde using K2CO3 in DMF to give 2-[4-(methylsulfanyl)phenoxy]benzaldehyde (100%). The aldehyde was dissolved in THF, DCM, Me2NH•HCl, and TEA, treated with NaBH(OAc)3, and converted to the salt with 1M HCl in Et2O to afford N,N-dimethyl-N-[2-[4-(methylsulfanyl)phenoxy]benzyl]amine•HCl (84%). Coupling the salt with ClSO3H in CH2Cl2 at 0° to 5°C, followed by stepwise addition of MeCN with POCl3 and ammonia, produced the desired sulfonamide (II) in 61% yield. The latter showed serotonin re-uptake inhibition (SRI) activity with IC50 ≤ 50 nM and was > 100-fold as potent in the inhibition of serotonin re-uptake than in the inhibition of dopamine and noradrenaline re-uptake. I are useful in the treatment of disorders such as depression, attention deficit hyperactivity disorder, obsessive-compulsive disorder, post-traumatic stress disorder, substance abuse disorders, and sexual dysfunction, including premature ejaculation (no data).

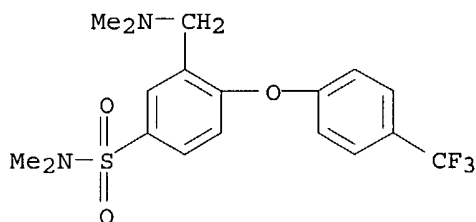
IT 364321-76-6P 364321-97-1P 364322-10-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of di-Ph ether compds. as serotonin re-uptake inhibitors)

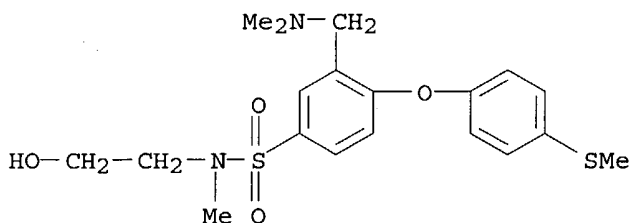
RN 364321-76-6 CAPLUS

CN Benzenesulfonamide, 3-[(dimethylamino)methyl]-N,N-dimethyl-4-[4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 364321-97-1 CAPLUS

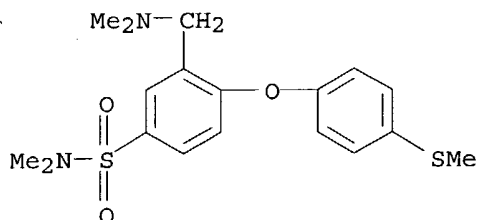
CN Benzenesulfonamide, 3-[(dimethylamino)methyl]-N-(2-hydroxyethyl)-N-methyl-4-[4-(methylthio)phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 364322-10-1 CAPLUS

CN Benzenesulfonamide, 3-[(dimethylamino)methyl]-N,N-dimethyl-4-[4-(methylthio)phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

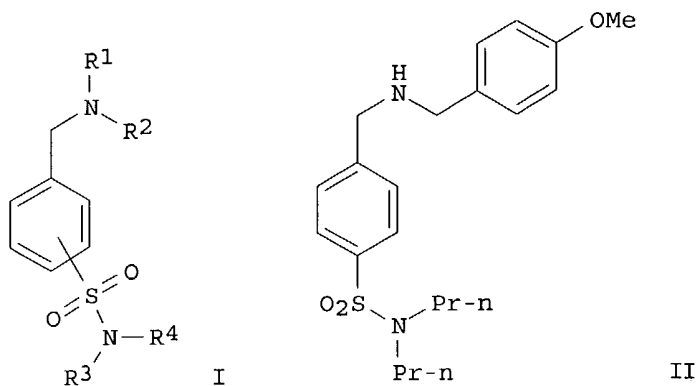


● HCl

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:50617 CAPLUS
DN 134:86033
TI Preparation of sulfonamide substituted benzylamine derivatives as calcium channels modulators
IN Milutinovic, Sandra Ginette; Simmonds, Robin George; Tupper, David Edward
PA Eli Lilly and Company Limited, UK
SO PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001004087	A1	20010118	WO 2000-GB2361	20000615
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	GB 2352240	A1	20010124	GB 1999-16434	19990713
	EP 1200397	A1	20020502	EP 2000-938940	20000615
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
PRAI	GB 1999-16434	A	19990713		
	WO 2000-GB2361	W	20000615		
OS	MARPAT 134:86033				
GI					



AB The title compds. [I; the aminosulfonyl group is attached at the 3- or 4-position; R1 = H, alkyl, cycloalkyl, etc.; R2 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; R3, R4 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; or R1 and R2, or R3 and R4, together with the nitrogen atom to which they are attached, form (un)substituted carbocyclic group containing 4-7 carbon atoms optionally containing an oxygen atom or a further nitrogen atom, and said carbocyclic group being optionally fused to (un)substituted Ph] and their salts, useful in modulating the activity of calcium channels, were prepared and formulated. E.g., a multi-step synthesis of benzenesulfonamide II as maleate salt was given. The exemplified compds. I are found to inhibit voltage-dependent calcium channels in cloned human cell lines expressing specific voltage-dependent calcium channels with an IC50 of < 10 μ M.

IT 317813-76-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(preparation of sulfonamide substituted benzylamine derivs. as calcium channels modulators)

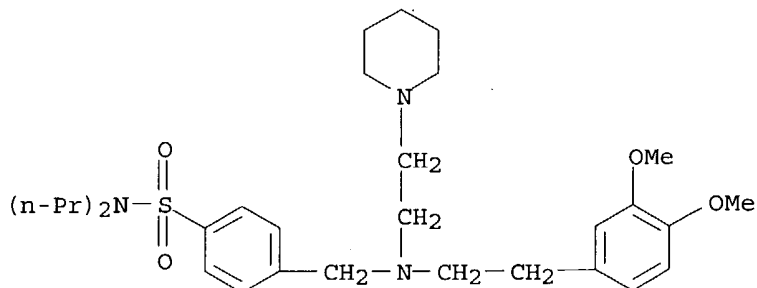
RN 317813-76-6 CAPLUS

CN Benzenesulfonamide, 4-[[[2-(3,4-dimethoxyphenyl)ethyl][2-(1-piperidiny)ethyl]amino]methyl]-N,N-dipropyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 317813-75-5

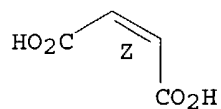
CMF C30 H47 N3 O4 S



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> dis hist

(FILE 'HOME' ENTERED AT 09:07:26 ON 10 SEP 2004)

FILE 'REGISTRY' ENTERED AT 09:07:39 ON 10 SEP 2004

L1 STRUCTURE UPLOADED

L2 0 S L1 SSS SAM

L3 28 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:08:41 ON 10 SEP 2004

L4 5 S L3/PREP AND L3/THU